

10/03/2008,10524274.trn

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TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	OCT	02	CA/CAPLUS enhanced with pre-1907 records from Chemisches Zentralblatt
NEWS	3	OCT	19	BEILSTEIN updated with new compounds
NEWS	4	NOV	15	Derwent Indian patent publication number format enhanced
NEWS	5	NOV	19	WPIX enhanced with XML display format
NEWS	6	NOV	30	ICSD reloaded with enhancements
NEWS	7	DEC	04	LINPADOCDB now available on STN
NEWS	8	DEC	14	BEILSTEIN pricing structure to change
NEWS	9	DEC	17	USPATOLD added to additional database clusters
NEWS	10	DEC	17	IMSDRUGCONF removed from database clusters and STN
NEWS	11	DEC	17	DGENE now includes more than 10 million sequences
NEWS	12	DEC	17	TOXCENTER enhanced with 2008 MeSH vocabulary in MEDLINE segment
NEWS	13	DEC	17	MEDLINE and LMEALINE updated with 2008 MeSH vocabulary
NEWS	14	DEC	17	CA/CAPLUS enhanced with new custom IPC display formats
NEWS	15	DEC	17	STN Viewer enhanced with full-text patent content from USPATOLD
NEWS	16	JAN	02	STN pricing information for 2008 now available
NEWS	17	JAN	16	CAS patent coverage enhanced to include exemplified prophetic substances
NEWS	18	JAN	28	USPATFULL, USPAT2, and USPATOLD enhanced with new custom IPC display formats
NEWS	19	JAN	28	MARPAT searching enhanced
NEWS	20	JAN	28	USGENE now provides USPTO sequence data within 3 days of publication
NEWS	21	JAN	28	TOXCENTER enhanced with reloaded MEDLINE segment
NEWS	22	JAN	28	MEDLINE and LMEALINE reloaded with enhancements
NEWS	23	FEB	08	STN Express, Version 8.3, now available
NEWS	24	FEB	20	PCI now available as a replacement to DPCI
NEWS	25	FEB	25	IFIREF reloaded with enhancements
NEWS	26	FEB	25	IMSPRODUCT reloaded with enhancements
NEWS	27	FEB	29	WPINDEX/WPIDS/WPIX enhanced with ECLA and current U.S. National Patent Classification

NEWS EXPRESS FEBRUARY 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items

10/03/2008,10524274.trn

NEWS IPC8        For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that specific topic.

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\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 12:00:31 ON 10 MAR 2008

=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 12:00:46 ON 10 MAR 2008  
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STRUCTURE FILE UPDATES:    9 MAR 2008    HIGHEST RN 1007215-88-4  
DICTIONARY FILE UPDATES:   9 MAR 2008    HIGHEST RN 1007215-88-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

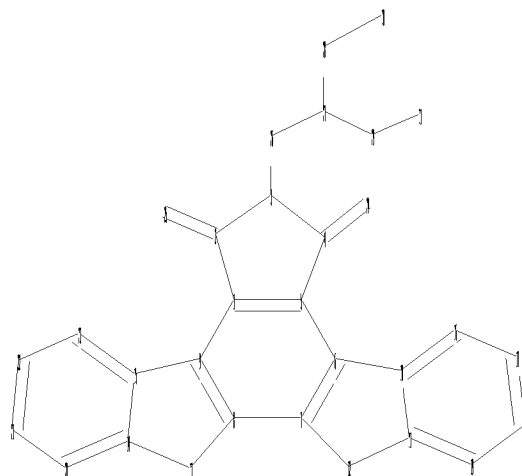
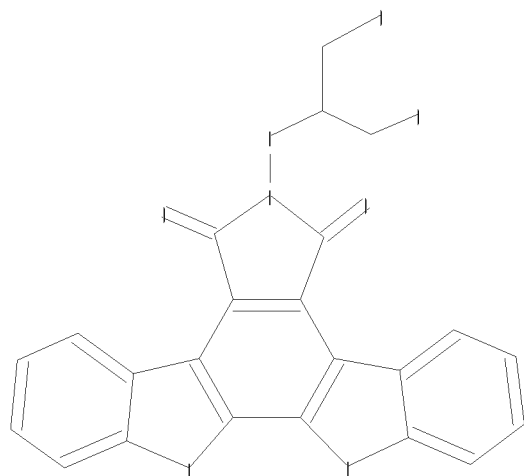
REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

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Uploading C:\Program Files\Stnexp\Queries\10524274product.str

10/03/2008,10524274.trn



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24 25 26 27 28 29 30 31
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21 22 23
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ring bonds :
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10-16 11-12 11-19 13-14 14-15 14-20 15-23 16-17 17-18 18-19 20-21 21-22
22-23
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1-2 2-3 2-25 3-4 3-26 4-5 4-24 6-10 7-12 8-13 9-15 11-12 13-14 26-27
28-30 29-31
exact bonds :
27-28 27-29
normalized bonds :
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17-18 18-19 20-21 21-22 22-23
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Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 22:Atom 23:Atom 24:CLASS 25:CLASS 26:CLASS 27:CLASS
28:CLASS 29:CLASS 30:CLASS 31:CLASS
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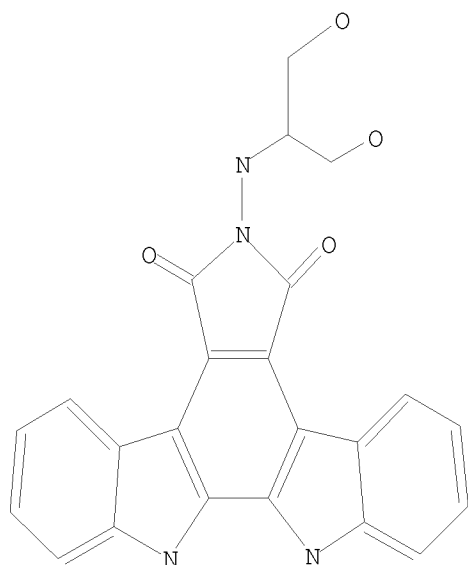
L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

10/03/2008,10524274.trn



Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 12:01:05 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 2 TO ITERATE

100.0% PROCESSED 2 ITERATIONS

2 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2 TO 124

PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 12:01:09 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 58 TO ITERATE

100.0% PROCESSED 58 ITERATIONS

55 ANSWERS

SEARCH TIME: 00.00.01

L3 55 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

178.36

178.57

FILE 'CAPLUS' ENTERED AT 12:01:13 ON 10 MAR 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

10/03/2008,10524274.trn

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FILE COVERS 1907 - 10 Mar 2008 VOL 148 ISS 11  
FILE LAST UPDATED: 9 Mar 2008 (20080309/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

<http://www.cas.org/infopolicy.html>

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L4 60 L3

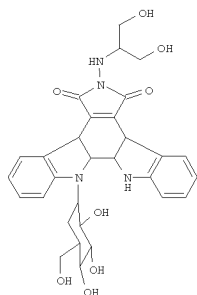
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L5 16 L3/P

=> d ed abs ibib hitstr tot

10/03/2008,10524274.trn

L5 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 19 Jun 2007  
GI



I

AB A seven-step process for producing the title glycoside I, which has anticancer activity, is reported. In particular, the catalysts used in the preparation of the indolol fragment from  $\beta$ -aminostyrenes are investigated.

ACCESSION NUMBER: 2007:655303 CAPLUS  
DOCUMENT NUMBER: 147:257970  
TITLE: Process for producing an indolopyrrolo[3,4-c]carbazole glycoside  
INVENTOR(S): Atsushi, Akao; Masashi, Kawasaki; Asayuki, Kamatani; Toshiaki, Mase  
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan  
SOURCE: Braz. Pedido PI, 98pp.  
CODEN: BPXXDX  
DOCUMENT TYPE: Patent  
LANGUAGE: Portuguese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

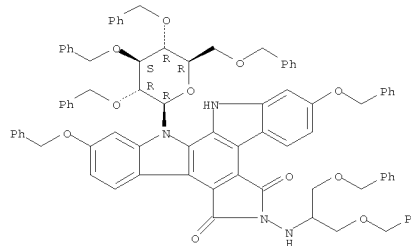
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
BR 2005000723	A	20061114	BR 2005-723	20050304

PRIORITY APPLN. INFO.:  
BR 2005-723 20050304

OTHER SOURCE(S): CASREACT 147:257970

L5 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
IT 357401-16-2P  
RI: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (process for producing an indolopyrrolo[3,4-c]carbazole glycoside)  
RN 357401-16-2 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12,13-dihydro-2,10-bis(phenylmethoxy)-6-[[2-(phenylmethoxy)-1-[(phenylmethoxy)methyl]ethyl]-12-[2,3,4,6-tetrakis-O-(phenylmethyl)- $\beta$ -D-glucopyranosyl]- (9CI) (CA INDEX NAME)

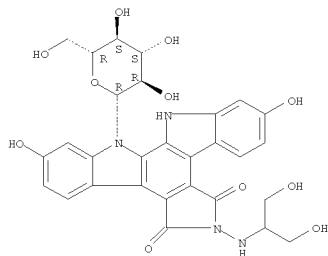
Absolute stereochemistry.



IT 174402-32-5P  
RI: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation) (process for producing an indolopyrrolo[3,4-c]carbazole glycoside)  
RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L5 ANSWER 1 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L5 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 09 Dec 2005  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB An industrially preferable process for producing the N-( $\beta$ -D-glucopyranosyl)indolopyrrolo[3,4-c]carbazole derivative (I) or a pharmaceutically acceptable salt thereof, which is useful as an anticancer agent, comprises treatment of the general formula (II), (Z =  $\text{NY1}$  = H, C1-4 alkyl, Ph, benzyloxymethyl, aralkyl; R1-R6 = HO-protecting group), a solvate thereof, or a salt of either in an inert solvent with a base and then with an acid, treatment of the resultant liquid reaction mixture in an inert solvent with a base and then with an acid, subsequent reaction of the resulting compound II (Z = O; R1-R6 = same as above) with an acid addition salt of hydrazinediol H2NNHCH(CH2OR7)CH2OR8.XA (R7, R8 = H, HO-protecting group; XA is absent or acid) in the presence of an acid scavenger, removing the protective group of the resultant compound II (Z = NNNHCH(CH2OR7)CH2OR8, R1-R8 = same as above). Thus, 2,3,4,6-tetra-O-benzyl-D-glucopyranosyl chloride and 12,13-dihydro-2,10-benzyloxy-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole were stirred in the presence of tricaprylmethylammonium chloride in a mixture of tert-Bu Me ether and 48 weight% aqueous KOH solution at 20-25° for 4 h to give, after workup, 93% II.0.4 Me3CCMe (Z = NMe, R1-R6 = CH2Ph) which was stirred with a mixture of toluene and 48% aqueous KOH solution at room temperature overnight, cooled to -5°, treated dropwise with 10 weight% citric acid to adjust pH at 6.3, and stirred at room temperature for 2 h to give, after workup, 92% II (Z = O, R1-R6 = CH2Ph). II (Z = O, R1-R6 = CH2Ph) and N-(1-benzyloxymethyl-2-benzyloxyethyl)hydrazine 1/2 oxalate were heated in N,N-dimethylacetamide in the presence of Et3N at 60° for 3 h to give crude II [Z = NNNHCH(CH2CH2Ph)CH2CH2Ph, R1-R6 = CH2Ph] which was hydrogenolyzed over 10% Pd-C in a mixture of THF, isopropanol, and 3 N aqueous HCl solution at 40° and 40 psi H pressure for 14 h to give  $\geq 80\%$  I.

ACCESSION NUMBER: 2005:1289781 CAPLUS  
DOCUMENT NUMBER: 144:36464  
TITLE: Process for producing N-( $\beta$ -D-glucopyranosyl)indolopyrrolo[3,4-c]carbazole derivative  
INVENTOR(S): Iida, Takehiko; Hiraga, Shouichi; Takezawa, Akihiro; Mase, Toshiaki  
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 63 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

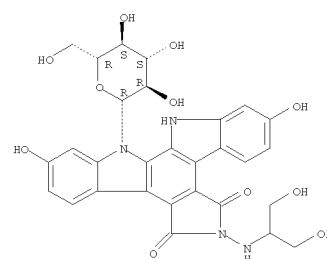
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L5 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
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CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ,  
LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,  
NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK,  
SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU,  
ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MM, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,  
AZ, BY, BG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,  
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,  
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,  
MR, NE, SN, TD, TG  
AU 2005247764 A1 20051208 AU 2005-247764 20050526  
CA 2567948 A1 20051208 CA 2005-2567948 20050526  
EP 1754711 A1 20070221 EP 2005-743753 20050526  
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CN 1960999 A 20070509 CN 2005-80017193 20050526  
IN 2006DN06976 A 20070622 IN 2006-DN6976 20061122  
US 2007197796 A1 20070823 US 2006-597770 20061127  
JP 2004-160193 A 20040528  
PRIORITY APPLN. INFO.: WO 2005-JP9674 W 20050526

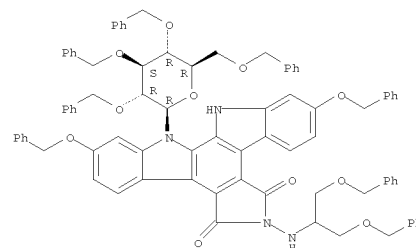
OTHER SOURCE(S): MARPAT 144:36464  
IT 174402-32-5P  
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN  
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);  
PREP (Preparation); USES (Uses)  
(preparation of N-( $\beta$ -D-glucopyranosyl)indolopyrrolocarbazole  
derivative as  
anticancer agent)  
RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-  
(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)  
Absolute stereochemistry. Rotation (+).

L5 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR  
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FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE

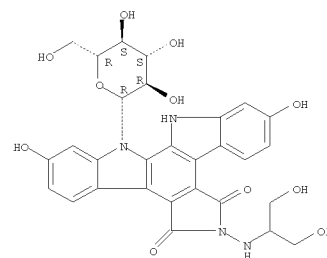
L5 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



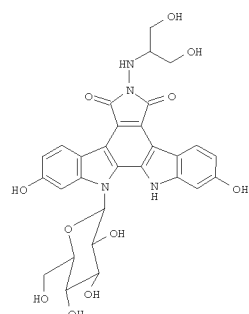
IT 357401-16-2P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic  
preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of N-( $\beta$ -D-glucopyranosyl)indolopyrrolocarbazole  
derivative as  
anticancer agent)  
RN 357401-16-2 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-bis(phenylmethoxy)-6-[[2-(phenylmethoxy)-1-  
[(phenylmethoxy)methyl]ethyl]-12-[2,3,4,6-tetrakis-O-(phenylmethyl)- $\beta$ -  
D-glucopyranosyl]- (9CI) (CA INDEX NAME)  
Absolute stereochemistry.



L5 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 03 Sep 2004  
AB A review. Banyu Pharmaceutical Co Ltd and Pfizer Inc (formerly Pharmacia  
Corp) are developing edotecarin, an indolocarbazole topoisomerase I  
inhibitor, for the potential treatment of solid tumors. This article  
describes the synthesis, structure-activity relations, metabolism,  
pharmacokinetics, toxicity, clin. development, side effects, and  
contraindications of the compound  
ACCESSION NUMBER: 2004:719704 CAPLUS  
DOCUMENT NUMBER: 141:306874  
TITLE: Edotecarin (Banyu/Pfizer)  
AUTHOR(S): Denny, William A.  
CORPORATE SOURCE: Auckland Cancer Society Research Centre, School of  
Medical Sciences, The University of Auckland,  
Auckland, 92019, N. Z.  
SOURCE: IDrugs (2004), 7(2), 173-177  
CODEN: IDRUPN; ISSN: 1369-7056  
PUBLISHER: Thomson Scientific  
DOCUMENT TYPE: Journal; General Review  
LANGUAGE: English  
IT 174402-32-5P, Edotecarin  
RL: ADV (Adverse effect, including toxicity); MSC (Miscellaneous); PAC  
(Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic  
preparation); THU (Therapeutic use); BIOL (Biological study); PREP  
(Preparation); USES (Uses)  
(edotecarin preparation and pharmacol. as topoisomerase I inhibitor  
and  
antitumor agent.)  
RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-  
(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)  
Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR  
THIS  
FORMAT RECORD. ALL CITATIONS AVAILABLE IN THE RE



T

AB This document discloses a multistep process for preparing anticancer indolopyrrolocarbazole derivative I from 3-benzylxyppyrrolidinylvinyl nitrobenzene

e. One of the key steps in this process is the hydrogenation of 3-benzylxy-6-(2-pyrroldinylvinyl)nitrobenzene in the presence of Rh/C and Fe(OAc)<sub>2</sub> under hydrogen to give 6-benzylxyindole in 91% yield.

AND REFERENCE under number 80-01976, give 6'-Benzoyloxyindole in 9% yield.

ACCESSION NUMBER: 2004:182938 CAFUS

DOCUMENT NUMBER: 140:127950

TITLE: Process for producing indolopyrrolocarbazole derivative

INVENTOR(S): Akao, Atsushi; Kawasaki, Masaaki; Kamatani, Asayuki; Mase, Toshiaki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan

SOURCE: FCT Int. Appl., 100 pp.

CODEN: PIIXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018495	A1	20040304	WO 2003-JP10672	20030822
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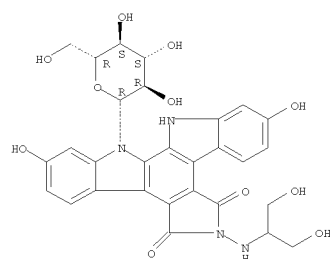
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JP	2004090608 B2	200408311	
CA	2496479 A1	200403034 CA	2003-2496479 20030822
AU	2003261708 A1	200403311 AU	2003-261708 20030822
EP	1541582 A1	200506615 EP	2003-792815 20030822
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CN, AL, TR, BG, CZ, EE, HU, SK		
CN	1679622 A1	20051005 C	2003-1679622 20030822
CN	1923365 A1	20070307 CN	2006-10138804 20030822
JF	2004107357 A1	200404048 JF	2003-2423786 20031219
MX	2005PA01967 A1	200506622 MX	2005-PA1967 200505218
ZA	2005001601 A1	200605311 ZA	2005-060531 200502223
IN	2005KN0249 A1	200608311 IN	2005-KN249 20050223
US	2005176968 A1	200508111 US	2005-176968 200504625
JP	2007R00205 H	2007R00205 JP	2007-R00205 200708024
PRIORITY APPL. INFO :		IR 2005-244273	2007-08023

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OTHER SOURCE(S): MARPAT 140:217950
IT 174402-32-5P
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN
(Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);
PREP (Preparation); USES (Uses)
Multistep process for preparing anticancer indolopyrrolocarbazole
derivative
from benzyloxypyrrolidinylvinyl nitrobenzene)
RN 174402-32-5 CAPUS
CN 5H-INDOL[2,3-a]PYRROLO[3,4-c]CARBAZOLE-5,7(6H)-dione,
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-
(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)
Absolute stereochemistry. Rotation (+).

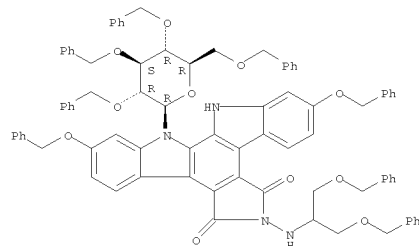
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L5 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 357401-16-2P  
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (multistep process for preparing anticancer indolopyrrolocarbazole derivative  
 from benzyloxypyrrolidinylvinylnitrobenzene)  
 RN 357401-16-2 CAPLUS  
 CN 5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7 (6H)-dione,  
 12,13-bis[4-(10-hydroxyphenyl)methyl]-6,6-bis[4-(phenylmethoxy)-1-  
 [4-(phenylmethoxy)methyl]ethyl]-12,13,3,4,6-tetrakis-O-(phenylmethyl)-β-  
 D-glucopyranosyl- (3CT) (CA INDEX NAME)

Absolute stereochemistry.

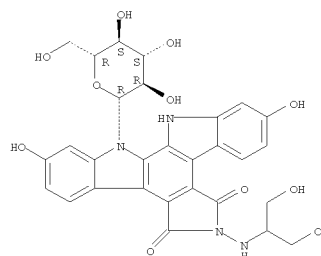


REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

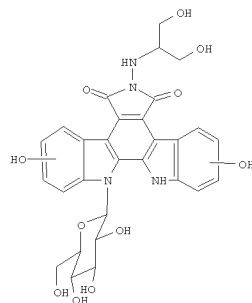
10/03/2008,10524274.trn

L5 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L5 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 10 Mar 2003  
AB A review discussing synthetic strategy, e.g. scale-up, safety evaluation, and regulation of impurity profile, etc., in preparation of an indolocarbazole glycoside as an antitumor agent.  
ACCESSION NUMBER: 2003:177126 CAPLUS  
DOCUMENT NUMBER: 139:312020  
TITLE: Process study of an indolocarbazole derivative as an antitumor agent  
AUTHOR(S): Kawasaki, Masashi  
CORPORATE SOURCE: Lab. for Technical Development, Banyu Pharmaceutical Co., Ltd., Japan  
SOURCE: Purosesu Kemisutori no Shintenkai (2003), 209-218. Shi Emu Shi Shuppan: Tokyo, Japan. CODEN: 69DQZN; ISBN: 4-88231-384-7  
DOCUMENT TYPE: Conference; General Review  
LANGUAGE: Japanese  
IT 174402-32-5p  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(process study of indolocarbazole derivative as antitumor agent)  
RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)  
Absolute stereochemistry. Rotation (+).



L5 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 11 Oct 2002  
GI



I

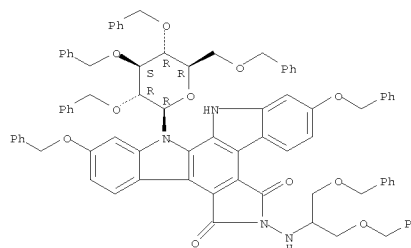
AB The present invention relates to a novel process to make indolocarbazole glycosides I in high purity which inhibit the growth of tumor cells and are therefore useful in the treatment of cancer in mammals, and the like.

ACCESSION NUMBER: 2002:777947 CAPLUS  
DOCUMENT NUMBER: 137:279417  
TITLE: Preparation and isolation of indolocarbazole glycosides  
INVENTOR(S): Weissman, Steven; Tschaeen, David; Iida, Takehiko; Kawasaki, Masashi; Hiraga, Shouichi; Kamatani, Asayuki  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Banyu Pharmaceutical Co., Ltd.  
SOURCE: PCT Int. Appl., 28 pp. CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002079214	A1	20021010	WO 2002-US9152	20020325
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,			

L5 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
US 2002193324 A1 20021219 US 2002-103081 20020321  
US 6559299 B2 20030506  
CA 2441214 A1 20021010 CA 2002-2441214 20020325  
AU 2002306863 A1 20021015 AU 2002-306863 20020325  
AU 2002306863 B2 20070809  
JP 3439470 B1 20030825 JP 2002-577838 20020325  
JP 2004519518 T 20040702  
EP 1390376 A1 20040225 EP 2002-757808 20020325  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
HU 2003003640 A2 20040301 HU 2003-3640 20020325  
BR 2002008470 A 20040302 BR 2002-8470 20020325  
CN 1578784 A 20050209 CN 2002-807545 20020325  
NZ 529168 A 20050324 NZ 2002-529168 20020325  
TW 245045 B 20051211 TW 2002-91106306 20020329  
US 2004116688 A1 20040617 US 2003-473028 20030925  
MX 2003PA08805 A 20041015 MX 2003-PA8805 20030926  
IN 2003CN01511 A 20051125 IN 2003-CN1511 20030926  
PRIORITY APPLN. INFO.: US 2001-279629P P 20010329  
US 2002-103081 A 20020321  
WO 2002-US9152 W 20020325

OTHER SOURCE(S): CASREACT 137:279417; MARPAT 137:279417  
IT 357401-16-2P  
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and isolation of indolocarbazole glycosides)  
RN 357401-16-2 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12,13-dihydro-2,10-bis(phenylmethoxy)-6-[[2-(phenylmethoxy)-1-[(phenylmethoxy)methyl]ethyl]-12-[2,3,4,6-tetrakis-O-(phenylmethyl)- $\beta$ -D-glucopyranosyl]- (9CI) (CA INDEX NAME)  
Absolute stereochemistry.

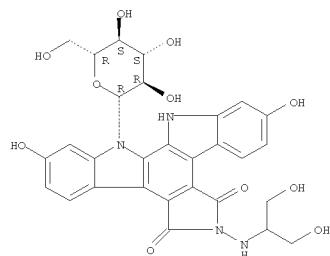


IT 174402-32-5P

10/03/2008,10524274.trn

L5 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
RI: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
(prepn. and isolation of indolocarbazole glycosides)  
RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-  
(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L5 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 12 May 2002  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The present invention relates to a novel glycosidation process to make  
indolocarbazole glycosides I wherein Q is O, N-R, S, CH<sub>2</sub>; X1 and X2 are  
independently selected from : H, halogen, OH, NC, CF<sub>3</sub>, (C=O)NO, acyl,  
ester, OCH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>SiMe<sub>3</sub>, NO, 9-fluorenylmethylcarbonyl, substituted  
amine,  
alkyl, alkylene-aryl, alkylene-aryl; R and R1 are independently : H,  
acyl,  
(C=O)CF<sub>3</sub>, ester, 9-fluorenylmethylcarbonyl, a furanose group, or a  
pyranose group, so long as one of R and R1 is a furanose group or a  
pyranose group; R2 and R3 are independently OH or H, or R2 and R3 are  
taken together to form an oxo group; R4 is : H, alkyl, CHO, acyl,  
alkylenearyl, alkylene-amine; useful in the preparation of  
indolopyrrolocarbazole derivs. which inhibit the growth of tumor cells  
and  
are therefore useful in the treatment of cancer in mammals, and the like.  
Thus, topoisomerase inhibitor glycoside II was prepared via  
tricaprylammonium chloride phase transfer-catalyzed glycosidation  
of  
indolocarbazole in 99% yield.  
ACCESSION NUMBER: 2002:353463 CAPLUS  
DOCUMENT NUMBER: 136:355421  
TITLE: Preparation of topoisomerase inhibitors  
indolocarbazole glycosides via phase transfer  
catalyzed glycosidation reaction  
INVENTOR(S): Petrillo, Daniel E.; Weissman, Steven A.; Rossen,  
Kai;  
Hiraga, Shouichi; Satake, Nobuya  
PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Banyu Pharmaceutical Co.,  
Ltd.  
SOURCE: PCT Int. Appl., 46 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

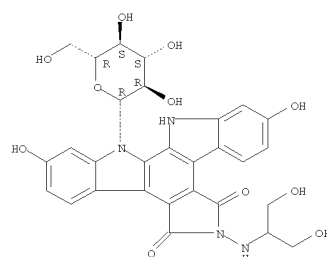
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002036601	A2	20020510	WO 2001-US47603	20011026
WO 2002036601	A3	20021128		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				

L5 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,  
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,  
BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
US 2002058903 A1 20020516 US 2001-2061 20011022  
US 655677 B2 20030429  
CA 2426815 A1 20020510 CA 2001-2426815 20011026  
AU 2002028945 A 20020515 AU 2002-28945 20011026  
EP 1333826 A2 20030813 EP 2001-990074 20011026  
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR  
HU 2003002923 A2 20031229 HU 2003-2923 20011026  
HU 2003002923 A3 20050228  
BR 2001015036 A 20040225 BR 2001-15036 20011026  
JP 2004513131 T 20040430 JP 2002-539359 20011026  
JP 3554560 B2 20040818  
NZ 525398 A 20041224 NZ 2001-525398 20011026  
BG 107737 A 20040130 BG 2003-107737 20030417  
ZA 2003003198 A 20040423 ZA 2003-3198 20030424  
NO 2003001922 A 20030627 NO 2003-1922 20030429  
MX 2003PA03871 A 20030728 MX 2003-PA3871 20030429  
IN 2003CN00794 A 20050415 IN 2003-CN794 20030522  
US 2004024196 A1 20040205 US 2003-415503 20030731  
HK 1064053 A1 20060929 HK 2004-106904 20040910  
US 2000-244675P P 20001031  
PRIORITY APPLN. INFO.:  
WO 2001-US47603 W 20011026

OTHER SOURCE(S): CASREACT 136:355421; MARPAT 136:355421  
IT 174402-32-5P  
RI: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP  
(Preparation)  
(topoisomerase inhibitory; preparation of antitumor agents  
indolocarbazole  
glycosides via phase transfer catalyzed glycosidation reaction)  
RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-  
(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

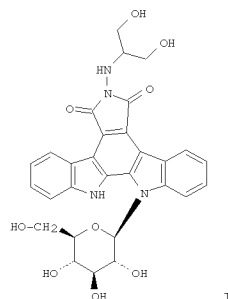
Absolute stereochemistry. Rotation (+).

L5 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



10/03/2008,10524274.trn

L5 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 15 Oct 2001  
GI



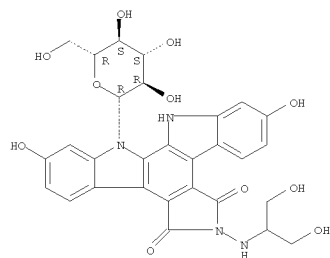
AB DNA topoisomerase I inhibitors are currently under investigation as cancer chemotherapy agents of which indolecarbazole glycoside I has been identified as a promising candidate. A practical, scalable synthesis of

I that limits the isolation of cytotoxic compds. to only that of the final product is described. The convergent process features a novel phase transfer-promoted glycosylation of aglycon core; subsequent hydrolysis provides anhydride. The hydrazine fragment, which is coupled with the aglycon, is synthesized via a modification of existing procedures. The coupled product is subsequently hydrogenated to provide I in excellent purity via direct crystallization (>99.3 %).

ACCESSION NUMBER: 2001:749931 CAPLUS  
DOCUMENT NUMBER: 136:167601  
TITLE: Practical synthesis of a potent

indolecarbazole-based, DNA topoisomerase inhibitor  
AUTHOR(S): Akao, A.; Hiraga, S.; Iida, T.; Kamatani, A.; Kawasaki, M.; Mase, T.; Nemoto, T.; Satake, N.; Weissman, S. A.; Tschaen, D. M.; Rossen, K.; Petrillo, D.; Reamer, R. A.; Volante, R. P.  
CORPORATE SOURCE: Process R & D, Banyu Pharmaceutical Co. Ltd, Okazaki, Aichi, 444-0858, Japan  
SOURCE: Tetrahedron (2001), 57(43), 8917-8923  
CODEN: TETRAH; ISSN: 0040-4020

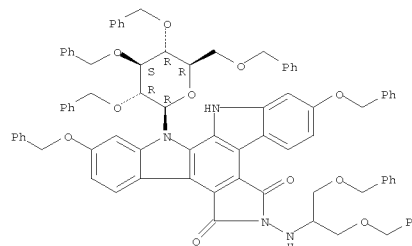
L5 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L5 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
OTHER SOURCE(S): CASREACT 136:167601  
IT 357401-16-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of a glycoside indolecarbazole, a potent DNA topoisomerase inhibitor using a phase transfer-promoted glycosylation as a key step)  
RN 357401-16-2 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12,13-dihydro-2,10-bis(phenylmethoxy)-6-[2-(phenylmethoxy)-1-[(phenylmethoxy)methyl]ethyl]-12-[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

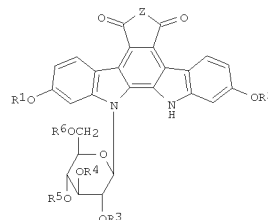
Absolute stereochemistry.



IT 174402-32-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of a glycoside indolecarbazole, a potent DNA topoisomerase inhibitor using a phase transfer-promoted glycosylation as a key step)  
RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L5 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 31 Aug 2001  
GI



AB Described are a process for preparing indolopyrrolocarbazole glucoside derivs. [I; Z = N-NHCH(CH<sub>2</sub>OH)CH<sub>2</sub>OH; R<sub>1</sub>-R<sub>6</sub> = H] by treating a compound I [Z = N-Y<sub>1</sub>; R<sub>1</sub>-R<sub>6</sub> are each independently a hydroxyl-protecting group; Y<sub>1</sub> = hydrogen, C1-4 alkyl, Ph, benzyloxymethyl, aralkyl] (II) with a base in an inert solvent to prepare a compound I (Z = O; R<sub>1</sub>-R<sub>6</sub> are each independently a hydroxyl-protecting group) (III), reacting III with a compound of formula H<sub>2</sub>NNHCH(CH<sub>2</sub>OR<sub>7</sub>)CH<sub>2</sub>OR<sub>8</sub>.X [IV; X = an acid mol.; R<sub>7</sub> and R<sub>8</sub> are each independently hydrogen or a hydroxyl-protecting group] to prepare a compound I [Z = NNHCH(CH<sub>2</sub>OR<sub>7</sub>)CH<sub>2</sub>OR<sub>8</sub>; R<sub>1</sub>-R<sub>6</sub> are each independently a hydroxyl-protecting group; R<sub>7</sub>, R<sub>8</sub> = same as above] (V), and deblocking the compound V; intermediates III, IV, and V; and a process for preparing compds.  
IV. The intermediates such as I [Z = O, N-NHCH(CH<sub>2</sub>OH)CH<sub>2</sub>OH; R<sub>1</sub>-R<sub>6</sub> = H] exhibited low topoisomerase I-inhibitory activity (IC<sub>50</sub> of >1,000 μM) which eliminates the danger of exposing workers to highly active compds. and thus the need for using a specialized isolation apparatus. The above process is a safe and easy industrial process for preparing indolopyrrolocarbazole derivs. I [Z = N-NHCH(CH<sub>2</sub>OH)CH<sub>2</sub>OH; R<sub>1</sub>-R<sub>6</sub> = H] useful as antitumor agents (no data). Thus, 670 mg I (Z = NMe, R<sub>1</sub>-R<sub>6</sub> = CH<sub>2</sub>Ph) was stirred in 36 mL ethanol at room temperature for 1 h, treated dropwise with 8 mL 5 N aqueous NaOH over a period of 20 min at room temperature, stirred at 60° for 4 h and then at room temperature overnight, treated with 20 mL toluene and dropwise with 1.0 N aqueous HCl over a period of 3 min to make pH 2.6, treated with 10 mL THF, and stirred at room temperature for 6 h to give 85% I (Z = O, R<sub>1</sub>-R<sub>6</sub> = CH<sub>2</sub>Ph). To the latter compound and 15 mL N,N-dimethylacetamide were added 0.23 g N-(1-hydroxymethyl-2-hydroxyethyl)hydrazine hemioxalate (preparation given) and Et<sub>3</sub>N and the

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L5 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
resulting mixt. was stirred at 60° for 1.5 h to give 92% I [Z = N-NHCH(CH<sub>2</sub>OH)CH<sub>2</sub>OH, R1-R6 = CH<sub>2</sub>Ph] which (500 mg) was dissolved in 10 mL MeOH/THF (50/50), treated with 100 mg 10% Pd-C and 100 µL 1 n aq. HCl, and hydrogenated under hydrogen pressure of 29.4 Pa at 40° for 3 h to give 59% I [Z = N-NHCH(CH<sub>2</sub>OH)CH<sub>2</sub>OH, R1-R6 = H].

ACCESSION NUMBER: 2001:636082 CAPLUS  
DOCUMENT NUMBER: 135:211231  
TITLE: Process for preparing indolopyrrolocarbazole derivatives, intermediates therefor, and preparation process of the intermediates

INVENTOR(S): Hiraqa, Shouichi; Kawasaki, Masashi; Akao, Atsushi; Kamatani, Asayuki; Hagiwara, Masayuki; Nakano, Fumio; Mase, Toshiaki

PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 61 pp.

CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

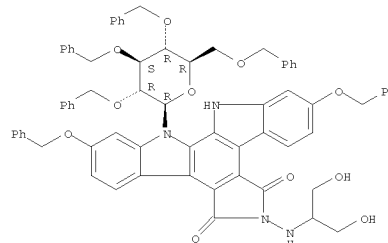
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001062769	A1	20010830	WO 2001-JP1289	20010222
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2399209	A1	20010830	CA 2001-2399209	20010222
AU 2001034119	A	20010903	AU 2001-34119	20010222
EP 1258490	A1	20021120	EP 2001-906200	20010222
EP 1258490	B1	20031126		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR			
JP 3388489	B2	20030324	JP 2001-562551	20010222
AT 255122	T	20031215	AT 2001-906200	20010222
PT 1258490	T	20040430	PT 2001-906200	20010222
ES 2210127	T3	20040701	ES 2001-906200	20010222
US 2003060621	A1	20030327	US 2002-203088	20020806
US 6790836	B2	20040914		
PRIORITY APPLN. INFO.:			JP 2000-48140	A 20000224
			WO 2001-JP1289	W 20010222

OTHER SOURCE(S): CASREACT 135:211231; MARPAT 135:211231  
IT 357401-11-7P 357401-16-2P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(process for preparing indolopyrrolocarbazole derivs. as antitumor agents,

L5 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
intermediates therefor, and prepn. process of intermediates)  
RN 357401-11-7 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,

12,13-dihydro-6-[2-hydroxy-1-(hydroxymethyl)ethyl]-2,10-bis(phenylmethoxy)-12-[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

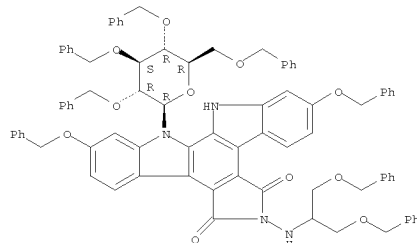
Absolute stereochemistry.



RN 357401-16-2 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12,13-dihydro-2,10-bis(phenylmethoxy)-6-[2-(phenylmethoxy)-1-[(phenylmethoxymethyl)ethyl]-12-[2,3,4,6-tetrakis-O-(phenylmethyl)-β-D-glucopyranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

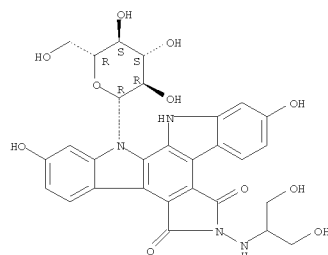
L5 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 174402-32-5P  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(process for preparing indolopyrrolocarbazole derivs. as antitumor agents,

intermediates therefor, and preparation process of intermediates)  
RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

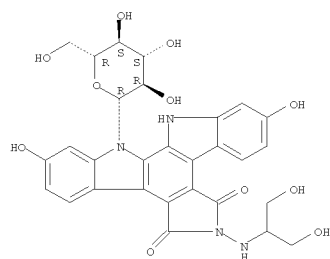
L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 31 Mar 2000  
AB A new indolocarbazole compound, NB-506, modified at the glucose group yielded a β-D-glucopyranoside, J-107,088, which showed potent anticancer activity. A β-D-ribofuranoside, J-109,534, was found to be 6 times more potent than J-107,088 at inhibiting topoisomerase I.  
ACCESSION NUMBER: 2000:208745 CAPLUS  
DOCUMENT NUMBER: 133:4881  
TITLE: Synthesis and biological activities of NB-506 analogues modified at the glucose group  
AUTHOR(S): Ohkubo, Mitsuru; Nishimura, Teruyuki; Kawamoto, Hiroshi; Nakano, Masato; Honma, Teruki; Yoshinari, Tomoko; Arakawa, Hiroharu; Suda, Hiroyuki; Morishima, Hajime; Nishimura, Susumu  
CORPORATE SOURCE: Banyu Tsukuba Research Institute in collaboration with  
SOURCE: Merck Research Laboratories, Tsukuba, 300-2611, Japan  
Bioorganic & Medicinal Chemistry Letters (2000), 10(5), 419-422  
CODEN: BMCLE8; ISSN: 0960-894X  
PUBLISHER: Elsevier Science Ltd.  
DOCUMENT TYPE: Journal  
LANGUAGE: English  
IT 174402-32-5P, J-107088 177350-46-8P 188884-05-1P  
188884-17-5P 188884-19-7P 188884-20-0P  
188884-21-1P 188884-22-2P 188884-23-3P  
270917-82-3P 270917-83-4P 270917-84-5P  
270917-85-6P 270917-86-7P 270917-87-8P  
270917-88-9P 270917-89-0P 270917-90-3P  
270917-91-4P 270917-92-5P 270917-93-6P  
270917-94-7P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(synthesis and biol. activities of NB-506 analogs modified at the glucose group)

RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12-β-D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10/03/2008,10524274.trn

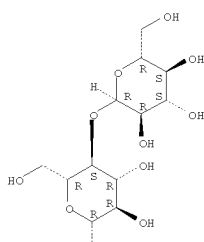
L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



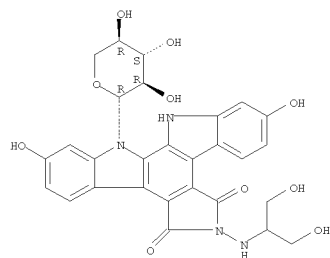
RN 177350-46-8 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(4-O-α-D-glucopyranosyl-β-D-glucopyranosyl)-12,13-dihydro-  
2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX  
NAME)

Absolute stereochemistry.

PAGE 1-A

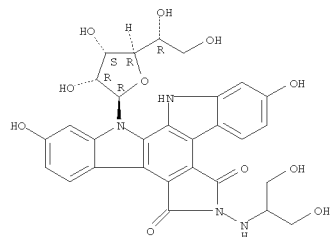


L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-19-7 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-β-D-allofuranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(  
hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

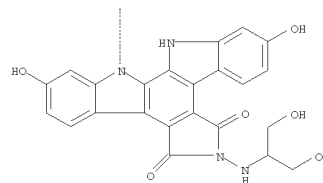


RN 188884-20-0 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-β-D-galactopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(  
hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

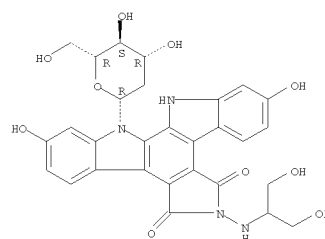
L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 2-A



RN 188884-05-1 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(2-deoxy-β-D-arabino-hexopyranosyl)-12,13-dihydro-2,10-dihydroxy-6-  
[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

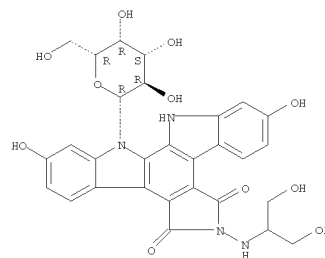
Absolute stereochemistry.



RN 188884-17-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-  
12-β-D-xylopyranosyl- (9CI) (CA INDEX NAME)

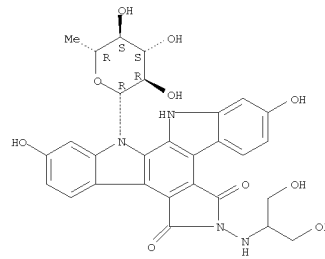
Absolute stereochemistry.

L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-21-1 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(6-deoxy-β-D-glucopyranosyl)-12,13-dihydro-2,10-dihydroxy-6-[[2-  
hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

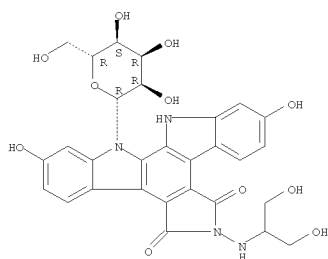


RN 188884-22-2 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-β-D-allopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(  
hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

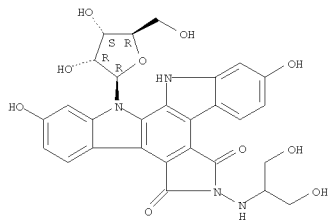
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L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-23-3 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-  
12-β-D-ribofuranosyl- (CA INDEX NAME)

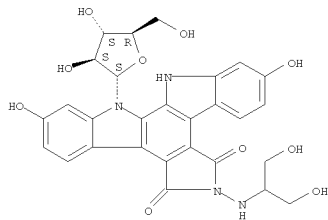
Absolute stereochemistry.



RN 270917-82-3 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-  
12-β-D-glucufuranosyl- (CA INDEX NAME)

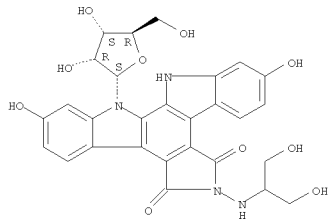
Absolute stereochemistry.

L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 270917-85-6 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-  
12-α-D-ribofuranosyl- (CA INDEX NAME)

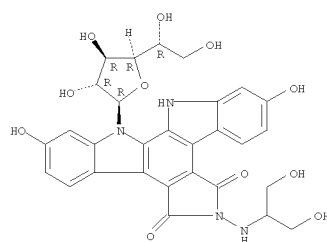
Absolute stereochemistry.



RN 270917-86-7 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-  
12-β-D-xylofuranosyl- (CA INDEX NAME)

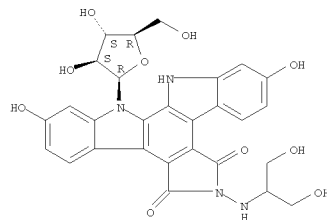
Absolute stereochemistry.

L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 270917-83-4 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-β-D-arabinofuranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

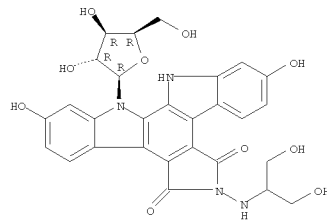
Absolute stereochemistry.



RN 270917-84-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-α-D-arabinofuranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

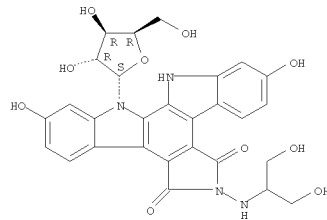
Absolute stereochemistry.

L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 270917-87-8 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-  
12-α-D-xylofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.

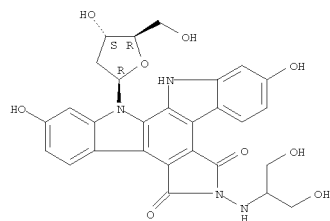


RN 270917-88-9 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(2-deoxy-β-D-erythro-pentofuranosyl)-12,13-dihydro-2,10-dihydroxy-  
6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

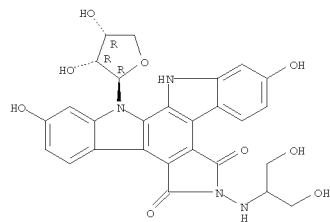
10/03/2008,10524274.trn

L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 270917-89-0 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-  
12-[(2R,3R,4R)-tetrahydro-3,4-dihydroxy-2-furanyl]- (CA INDEX NAME)

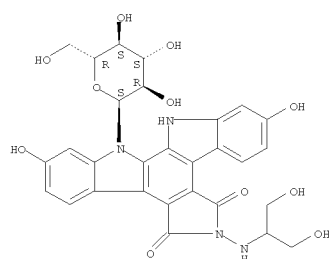
Absolute stereochemistry.



RN 270917-90-3 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\alpha$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

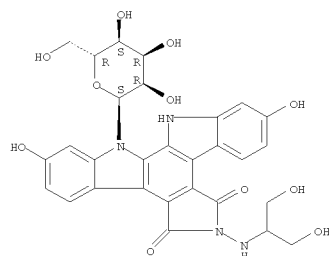
Absolute stereochemistry.

L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 270917-91-4 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\alpha$ -D-allopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

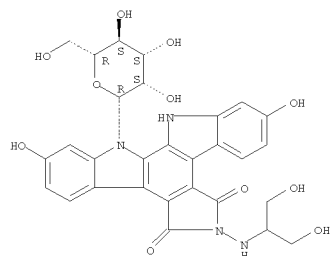
Absolute stereochemistry.



RN 270917-92-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-  
12- $\beta$ -D-mannopyranosyl- (CA INDEX NAME)

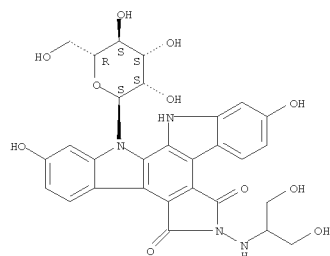
Absolute stereochemistry.

L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 270917-93-6 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-  
12- $\alpha$ -D-mannopyranosyl- (CA INDEX NAME)

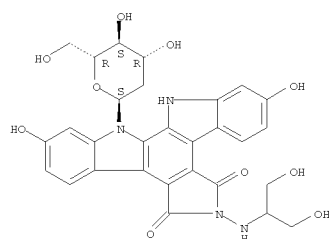
Absolute stereochemistry.



RN 270917-94-7 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(2-deoxy- $\alpha$ -D-arabino-hexopyranosyl)-12,13-dihydro-2,10-dihydroxy-  
6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

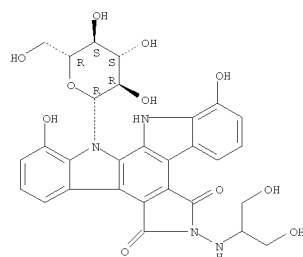


REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

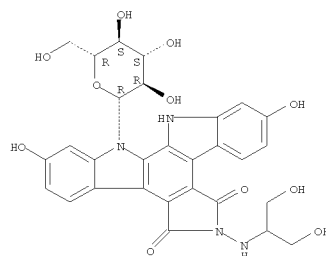
10/03/2008,10524274.trn

L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 24 Dec 1999  
AB In the course of a study of 6-N-amino-substituted analogs of NB-506 (1),  
a more potent anticancer drug, J-109,404 (2), in which the formyl group of NB-506 was replaced with a 1,3-dihydroxypropane group, was reported. A study of further modification in the positions of two hydroxyl groups at the indole rings of 2 resulted in the discovery of a 2,10-dihydroxy analog, J-107,088 (3), which is a promising anticancer agent with a broader therapeutic window than J-109,404.  
ACCESSION NUMBER: 1999:810817 CAPLUS  
DOCUMENT NUMBER: 132:208059  
TITLE: Synthesis and biological activities of NB-506 analogues: effects of the positions of two hydroxyl groups at the indole rings  
AUTHOR(S): Ohkubo, Mitsuru; Nishimura, Teruyuki; Honma, Teruki; Nishimura, Ikuko; Ito, Satoru; Yoshinari, Tomoko; Suda, Hiroharu Arakawa Hiroyuki; Morishima, Hajime; Nishimura, Susumu  
CORPORATE SOURCE: Banyu Tsukuba Research Institute in collaboration with  
SOURCE: Merck Research Laboratories, Tsukuba, 300-2611, Japan  
Bioorganic & Medicinal Chemistry Letters (1999), 9(23), 3307-3312  
CODEN: BMCLE8; ISSN: 0960-894X  
Elsevier Science Ltd.  
PUBLISHER: Journal  
DOCUMENT TYPE: English  
LANGUAGE: English  
IT 174402-31-4P 174402-32-5P 188883-97-8P 188883-99-0P 188884-01-7P 188884-03-9P 188884-06-2P 188884-07-3P 188884-09-5P 188884-11-9P 188884-13-1P 188884-15-3P 188884-29-9P 260798-10-5P 260798-11-6P 260798-12-7P 260798-13-8P 260798-14-9P 260798-15-0P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(synthesis and antitumor activity of NB-506 analogs and effects of the positions of two hydroxyl groups at the indole rings)  
RN 174402-31-4 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,11-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)  
Absolute stereochemistry.

L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

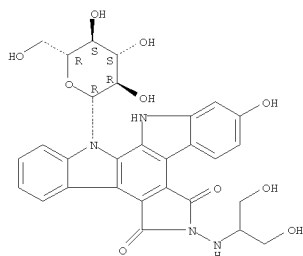


RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)  
Absolute stereochemistry. Rotation (+).

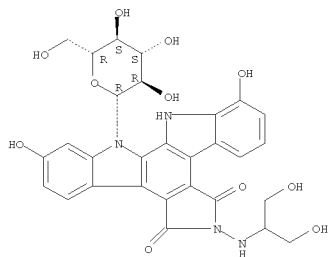


RN 188883-97-8 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2-hydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)  
Absolute stereochemistry.

L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

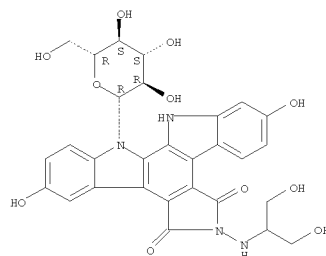


RN 188883-99-0 CAPLUS  
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Absolute stereochemistry.

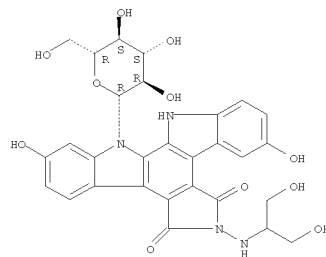


RN 188884-01-7 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,9-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)  
Absolute stereochemistry.

L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



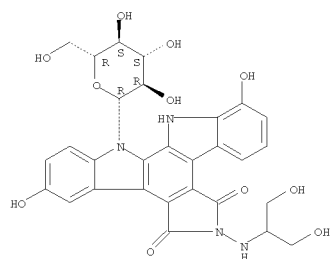
RN 188884-03-9 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,9-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)  
Absolute stereochemistry.



RN 188884-06-2 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,9-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)  
Absolute stereochemistry.

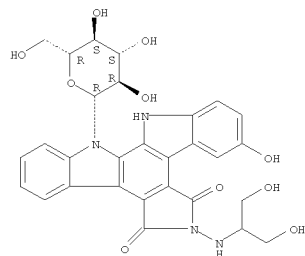
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L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-07-3 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-3-hydroxy-6-[(2-hydroxy-1-  
(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

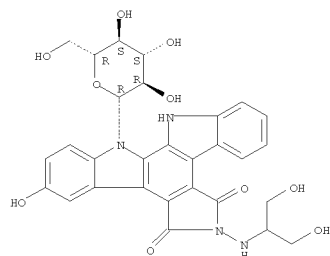
Absolute stereochemistry.



RN 188884-09-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
13- $\beta$ -D-glucopyranosyl-12,13-dihydro-2-hydroxy-6-[(2-hydroxy-1-  
(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

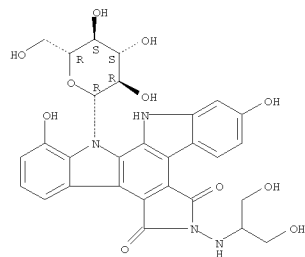
Absolute stereochemistry.

L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-15-3 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
13- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,10-dihydroxy-6-[(2-hydroxy-1-  
(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

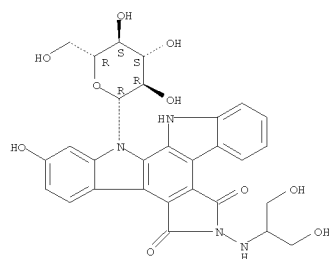
Absolute stereochemistry.



RN 188884-29-9 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-3,9-dihydroxy-6-[(2-hydroxy-1-  
(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

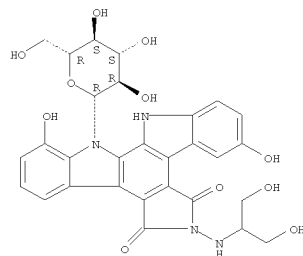
Absolute stereochemistry.

L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-11-9 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
13- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,9-dihydroxy-6-[(2-hydroxy-1-  
(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

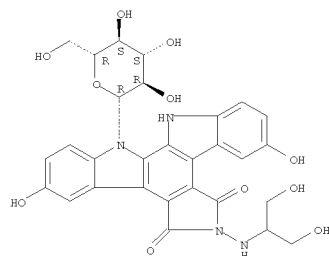
Absolute stereochemistry.



RN 188884-13-1 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
13- $\beta$ -D-glucopyranosyl-12,13-dihydro-3-hydroxy-6-[(2-hydroxy-1-  
(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

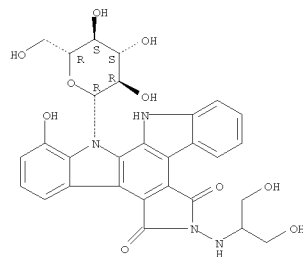
Absolute stereochemistry.

L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 260798-10-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
13- $\beta$ -D-glucopyranosyl-12,13-dihydro-1-hydroxy-6-[(2-hydroxy-1-  
(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

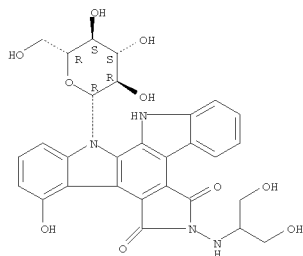


RN 260798-11-6 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
13- $\beta$ -D-glucopyranosyl-12,13-dihydro-4-hydroxy-6-[(2-hydroxy-1-  
(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

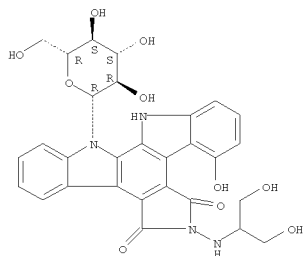
10/03/2008,10524274.trn

L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 260798-12-7 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1-hydroxy-6-[(2-hydroxy-1-  
(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

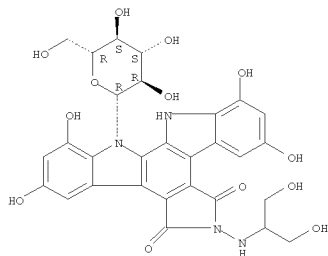
Absolute stereochemistry.



RN 260798-13-8 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1-hydroxy-6-[(2-hydroxy-1-  
(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

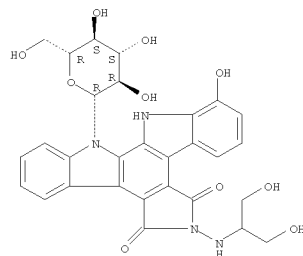
Absolute stereochemistry.

L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



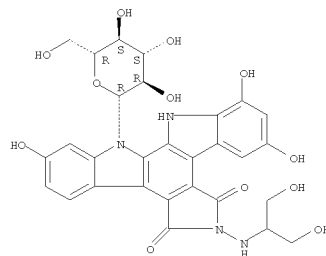
REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR  
THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE  
FORMAT

L5 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 260798-14-9 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,3,10-trihydroxy-6-[(2-hydroxy-1-  
(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 260798-15-0 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,3,9,11-tetrahydroxy-6-[(2-  
hydroxy-1-(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 17 Sep 1998  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Indolopyrrolocarbazole derivs. I and II were prepared and their antitumor  
activity studied.

ACCESSION NUMBER: 1998:590732 CAPLUS  
DOCUMENT NUMBER: 129:225719  
TITLE: Antitumor indolopyrrolocarbazole derivatives  
INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hideo; Arakawa, Hiroharu;  
Ohkubo, Mitsuru; Suda, Hiroyuki  
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan  
SOURCE: U.S., 25 pp., Cont.-in-part of U.S. 5,591,842.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 6  
PATENT INFORMATION:

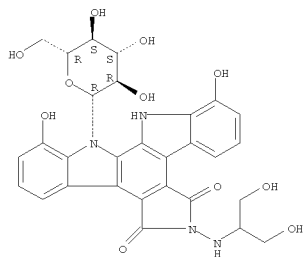
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5804564	A	19980908	US 1996-737382	19961108
PL 172609	B1	19971031	PL 1992-316369	19921127
US 5591842	A	19970107	US 1994-255980	19940608
CA 2190007	A1	19951116	CA 1995-2190007	19950502
CA 2190007	C	20030415		
CA 2413037	A1	19951116	CA 1995-2413037	19950502
CA 2413037	C	20070626		
WO 9530682	A1	19951116	WO 1995-JP868	19950502
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CN 1153518	A	19970702	CN 1995-193830	19950502
CN 1106400	B	20030423		
EP 1264836	A1	20021211	EP 2002-18235	19950502
EP 1264836	B1	20041201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
PT 760375	T	20040430	PT 1995-917506	19950502
ES 2206501	T3	20040516	ES 1995-917506	19950502
CN 1513865	A	20040721	CN 2002-2002146948	19950502
AT 283863	T	20041215	AT 2002-18235	19950502
PT 1264836	T	20050228	PT 2002-18235	19950502
ES 2230433	T3	20050501	ES 2002-18235	19950502
US 5922860	A	19990713	US 1998-3602	19980107
HK 1067948	A1	20070713	HK 2005-100209	20050211
PRIORITY APPLN. INFO.:				
			JP 1994-119483	A 19940509
			JP 1994-145648	A 19940603
			US 1994-255980	A2 19940608
			WO 1995-JP868	W 19950502
			JP 1991-341916	A 19911129

10/03/2008,10524274.trn

L5 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
JP 1992-69269 A 19920218  
JP 1992-257306 A 19920901  
US 1992-981070 A2 19921124  
WO 1992-JP1549 W 19921127  
US 1993-68097 B2 19930528  
US 1993-166364 A2 19931214  
CA 1995-2190007 A3 19950502  
EP 1995-917506 A3 19950502

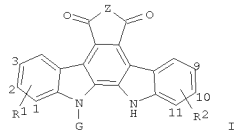
OTHER SOURCE(S): MARPAT 129:225719  
IT 174402-31-4P 174402-32-5P  
R1: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(antitumor indolopyrrolocarbazole derivs.)  
RN 174402-31-4 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,11-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
GI Entered STN: 08 May 1997



AB Nucleoside analogs represented by general formula [I; Z = NNHR; wherein R = C2-4 alkyl having 1 to 3 hydroxyl group; R1, R2 = H or OH; G = pentose or hexose, provided that R1 and R2 do not represent H at the same time, and excluding the case where R1 is OH at the 1-position and R2 is OH at the 11-position when R is CH(CH2OH)2, and another case where R1 is OH at the 2-position and R2 is OH at the 10-position when R is CH(CH2OH)2], which have an excellent antitumor effect, are prepared. Thus, a dicarboxylic acid anhydride I (Z = O, R1 = 2-MeO, R2 = 10-MeO) (preparation given) was stirred with 2-hydroxyethylhydrazine in DMF at 80° for 1.5 h to give I (Z = NHCH2CH2OH, R1 = 2-MeO, R2 = 10-MeO), which at 16 mg/kg total in vivo inhibited 75% the proliferation of human stomach cancer MN-45 cells in nude mice.

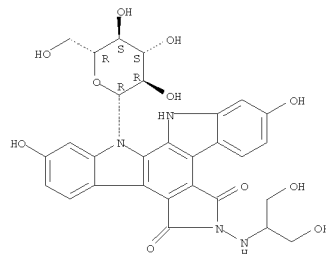
ACCESSION NUMBER: 1997:293884 CAPLUS  
DOCUMENT NUMBER: 126:264313  
TITLE: Preparation of N-glycosylindolopyrrolocarbazole derivatives as antitumor agents  
INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki  
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan  
SOURCE: PCT Int. Appl., 114 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9709339	A1	19970313	WO 1996-JP2404	19960828
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,				
SE AU 9669366	A	19970327	AU 1996-68366	19960828
PRIORITY APPLN. INFO.:			JP 1995-251855	A 19950905
			WO 1996-JP2404	W 19960828

OTHER SOURCE(S): MARPAT 126:264313  
IT 188883-97-8P 188883-99-0P 188884-01-7P  
188884-03-9P 188884-05-1P 188884-06-2P  
188884-07-3P 188884-09-5P 188884-11-9P

L5 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

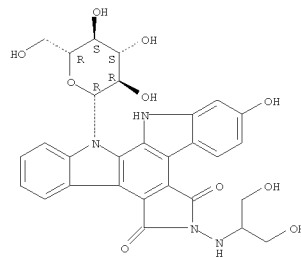
L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

188884-13-1P 188884-15-3P 188884-17-5P  
188884-19-7P 188884-20-0P 188884-21-1P  
188884-22-2P 188884-23-3P 188884-27-7P  
188884-29-9P 188884-31-3P 188884-32-4P  
188884-35-7P

R1: BAC (Biological activity or effector, except adverse); BSU  
(Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of N-glycosylindolopyrrolocarbazole derivs. as antitumor agents)

RN 188883-97-8 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2-hydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

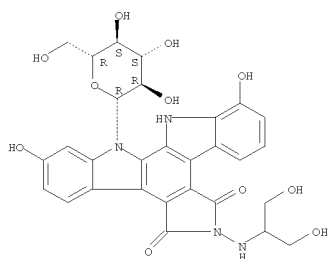


RN 188883-99-0 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

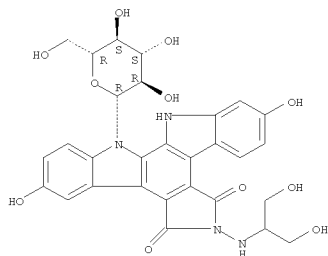
10/03/2008,10524274.trn

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-01-7 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,9-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

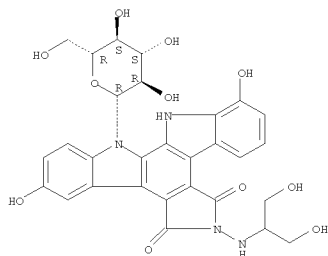
Absolute stereochemistry.



RN 188884-03-9 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,9-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

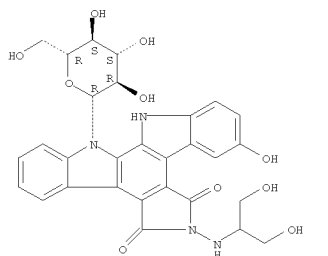
Absolute stereochemistry.

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-07-3 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,9-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

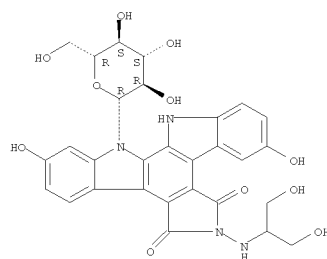
Absolute stereochemistry.



RN 188884-09-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,9-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

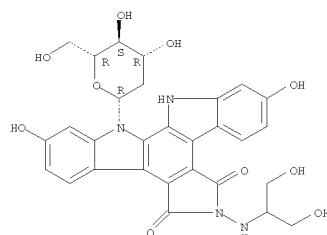
Absolute stereochemistry.

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-05-1 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(2-deoxy- $\beta$ -D-arabino-hexopyranosyl)-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

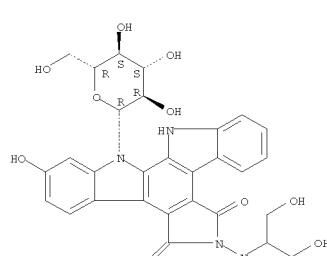
Absolute stereochemistry.



RN 188884-06-2 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,9-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

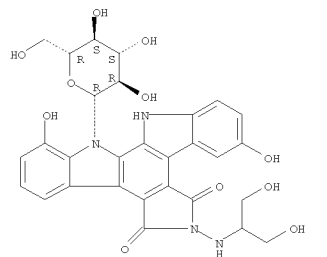
Absolute stereochemistry.

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-11-9 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,9-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

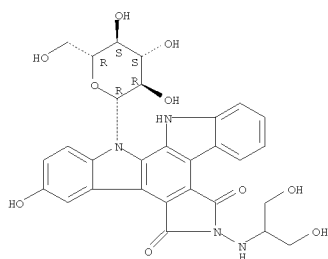


RN 188884-13-1 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,9-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

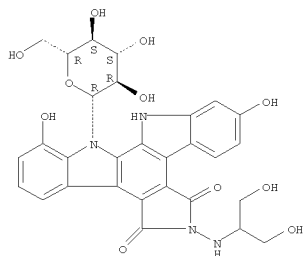
10/03/2008,10524274.trn

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-15-3 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
13- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,10-dihydroxy-6-[[2-hydroxy-1-  
(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

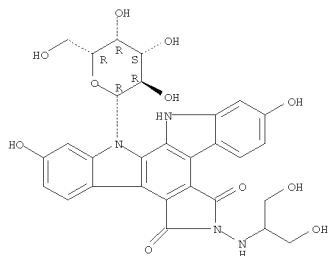
Absolute stereochemistry.



RN 188884-17-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-  
12- $\beta$ -D-xylopyranosyl- (9CI) (CA INDEX NAME)

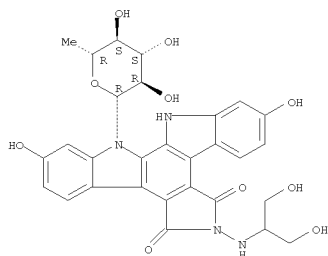
Absolute stereochemistry.

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-21-1 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(6-deoxy- $\beta$ -D-glucopyranosyl)-12,13-dihydro-2,10-dihydroxy-6-[[2-  
hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

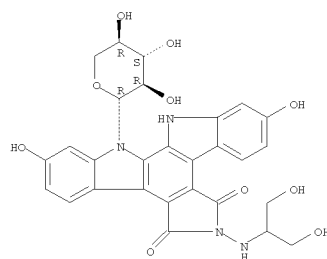
Absolute stereochemistry.



RN 188884-22-2 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-allopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-  
(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

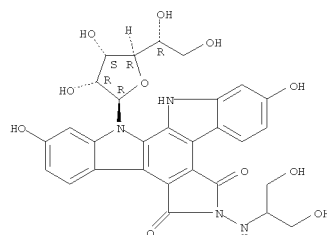
Absolute stereochemistry.

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-19-7 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-allofuranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-  
(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

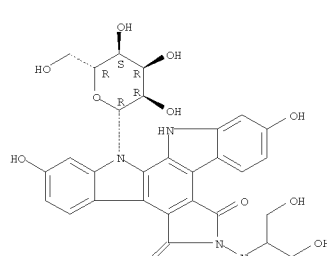
Absolute stereochemistry.



RN 188884-20-0 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-galactopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-  
(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

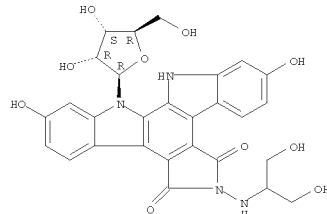
Absolute stereochemistry.

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-23-3 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-  
12- $\beta$ -D-ribofuranosyl- (CA INDEX NAME)

Absolute stereochemistry.

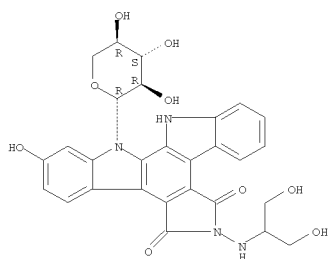


RN 188884-27-7 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-2-hydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]-13-  
 $\beta$ -D-xylopyranosyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

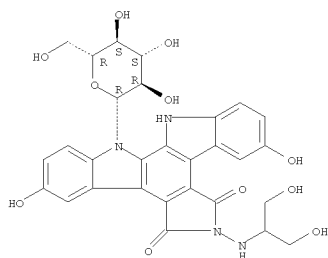
10/03/2008,10524274.trn

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-29-9 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-glucopyranosyl-12,13-dihydro-3,9-dihydroxy-6-[(2-hydroxy-1-(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

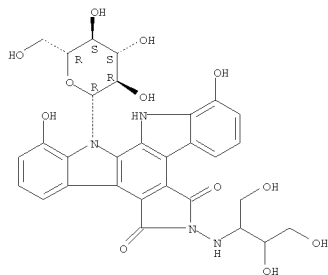
Absolute stereochemistry.



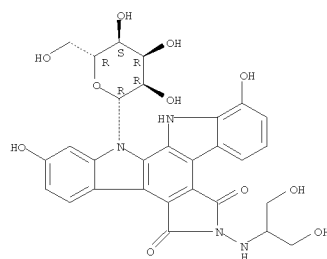
RN 188884-31-3 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12- $\beta$ -D-allopyranosyl-12,13-dihydro-1,10-dihydroxy-6-[(2-hydroxy-1-(hydroxymethyl)ethyl)amino]- (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

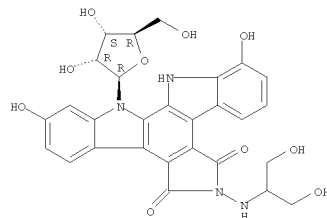


L5 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 188884-32-4 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-1,10-dihydroxy-6-[(2-hydroxy-1-(hydroxymethyl)ethyl)amino]-  
12- $\beta$ -D-ribofuranosyl- (CA INDEX NAME)

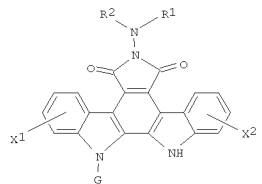
Absolute stereochemistry.



RN 188884-35-7 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
6-[(2,3-dihydroxy-1-(hydroxymethyl)propyl)amino]-12- $\beta$ -D-  
glucopyranosyl-12,13-dihydro-1,11-dihydroxy- (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 23 Jan 1997  
GI

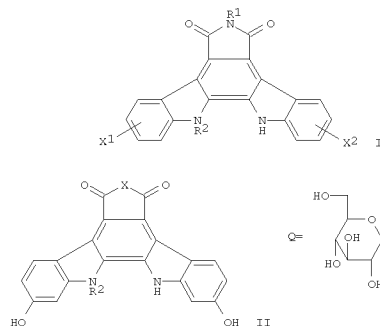
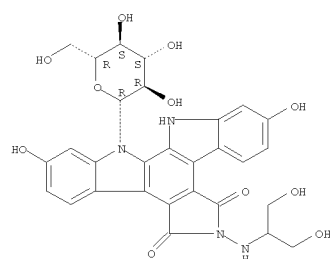


AB Indolopyrrocarbazole nucleoside analogs I (R1, R2 = H, alkyl, alkenyl, aryl, heterocycle; aminoalkyl; G = sugar; X1, X2 = H, halogen, NH2, alkoxy, alkylamino, OH) were prepared and showed excellent antitumor activity as evidenced by in vitro proliferation inhibiting activity against mouse leukemia cell, human gastric cancer cell, human lung cancer cell and human colon cancer cell. Thus, I (R1 = H, R2 = CHO; G =  $\beta$ -D-glucopyranosyl; X1 = X2 = OH) was prepared and tested as antitumor (dosage of 0.3-100 mg/kg/day; MST = 16.8-52.4).

ACCESSION NUMBER: 1997:49293 CAPLUS  
DOCUMENT NUMBER: 126:157762  
TITLE: Preparation of indolopyrrocarbazole nucleoside analogs as antitumors  
INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu; Ohkubo, Mitsuru; Suda, Hiroyuki  
PATENT ASSIGNEE(S): Banyu Pharmaceutical Co., Ltd., Japan  
SOURCE: U.S., 40 pp., Cont.-in-part of U.S. Ser. No. 5,437,996.  
CODEN: USXXAM  
DOCUMENT TYPE: Patent  
LANGUAGE: English  
FAMILY ACC. NUM. COUNT: 6  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5591842	A	19970107	US 1994-255980	19940608
PL 171468	B1	19970530	PL 1992-304729	19921127
PL 172316	B1	19970930	PL 1992-316368	19921127
PL 172609	B1	19971031	PL 1992-316369	19921127
RO 113469	B1	19980730	RO 1993-1067	19921127
CZ 287304	B6	20001011	CZ 1992-3508	19921127
CN 1073948	A	19930707	CN 1992-114888	19921128
CN 1030987	B	19960214		
ZA 9209263	A	19930525	ZA 1992-9263	19921209
CN 1075482	A	19930825	CN 1993-100326	19930102
CN 1035878	B	19970917		
US 5437996	A	19950801	US 1993-166364	19931214

OTHER SOURCE(S): MARPAT 126:157762  
IT 174402-32-5P  
RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(Preparation of indolopyrrolocarbazole nucleoside analogs as  
antitumors)  
RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
13- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-  
(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)  
Absolute stereochemistry. Rotation (+).



AB Compds. represented by general formula [I], X1, X2 = H, halo, NH2,  
mono(lower alkyl)amino, di(lower alkyl)amino, lower alkoxy, aralkoxy,  
CO2H, lower alkoxy carbonyl, lower alkanoyloxy, or lower alkyl which may  
be substituted by one or two HO groups; R1 = H, NH2, formylamino, lower  
alkanoylamino, mono(lower alkyl)amino, di(lower alkyl)amino, HO, lower  
alkoxy, aralkoxy, aralkyl, lower alkyl carbonyl, aryl carbonyl or lower  
alkyl [wherein the lower alkanoylamino, mono(lower alkyl)amino, di(lower  
alkyl)amino, lower alkoxy, aralkoxy, aralkyl, lower alkyl carbonyl,  
aryl carbonyl and lower alkyl may be substituted by one to five groups  
selected from among CO2H, CONH2, SO3H, NH2, cyano, mono(lower  
alkyl)amino,  
di(lower alkyl)amino, HO, heterocyclic which may be substituted by one to  
three HO groups or by lower alkyl which may be substituted by one to  
three hydroxy groups, and halogen atoms]; R2 = disaccharide group or  
pharmaceutically acceptable salts thereof are prepared by microbial  
glycosylation with Saccharothrix aerocolonigenes or chemical  
modification.  
Thus, glycosylation of 2,1-di-benzoyloxy-6-methylindolo[2,3-a]pyrrolo[3,4-  
c]carbazole-5,7-dione with chloro-5-O-(2,3,4,6-tetra-O-benzyl- $\alpha$ -D-  
glucopyranosyl)-2,3-O-isopropylidene- $\alpha$ -D-ribofuranose in the  
presence of KOH and MgSO4 in MeCN at room temperature for 4 h followed by  
hydrogenolysis over Pd-C in CHCl3-MeOH under H atmospheric and treatment  
with a mixture of THF and 10% HCl/MeOH gave the intermediate (II); X = NMe, R2 =  
Q), which was stirred with 10% aqueous NaOH at room temperature for 1 h and  
neutralized

OTHER SOURCE(S): MARPAT 125:34036

IT 177350-39-9P 177350-40-2P 177350-41-3P  
177350-42-4P 177350-43-5P 177350-44-6P  
177350-45-7P 177350-46-8P 177350-47-9P

RL: BAC (Biological activity or effector, except adverse); BSU  
(Biological  
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);  
BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of antitumor indolopyrrolizocarbazole glycosides)

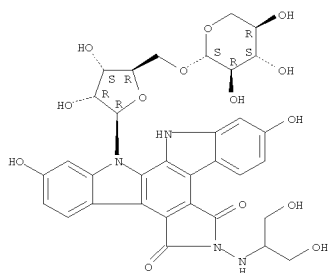
RN 177350-39-9 CAPLUS

CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12,13-dihydro-1,2-dihydroxy-6-[[2-hydroxy-1-(hydroxyethyl)ethylamino]-  
12-(5-O-β-D-xylopyranosyl-β-D-ribofuranosyl)- (CA INDEX NAME)

Absolute stereochemistry.

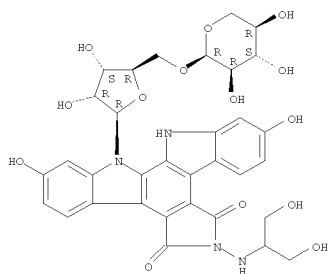
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L5 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



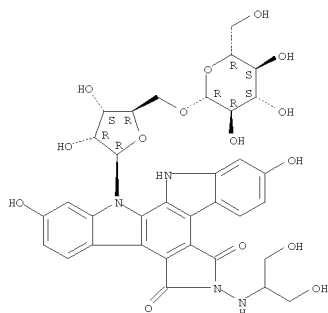
RN 177350-40-2 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(5-O- $\alpha$ -D-xylopyranosyl- $\beta$ -D-ribofuranosyl)-12,13-dihydro-  
2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



RN 177350-41-3 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(5-O- $\alpha$ -D-glucopyranosyl- $\alpha$ -D-ribofuranosyl)-12,13-dihydro-  
2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

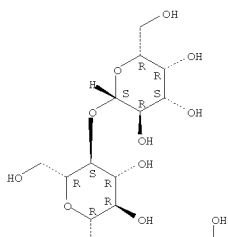
L5 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 177350-43-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(4-O- $\beta$ -D-galactopyranosyl- $\beta$ -D-glucopyranosyl)-12,13-dihydro-  
1,11-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

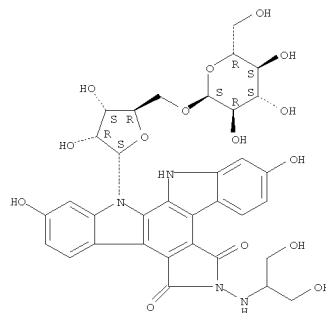
Absolute stereochemistry.

PAGE 1-A



L5 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

Absolute stereochemistry.

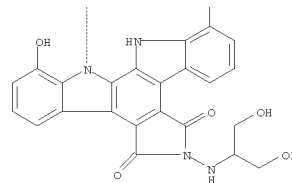


RN 177350-42-4 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(4-O- $\beta$ -D-glucopyranosyl- $\alpha$ -D-ribofuranosyl)-12,13-dihydro-  
2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

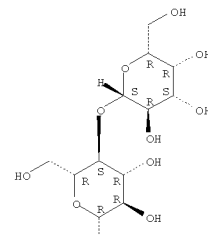
PAGE 2-A



RN 177350-44-6 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(4-O- $\beta$ -D-galactopyranosyl- $\beta$ -D-glucopyranosyl)-12,13-dihydro-  
2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.

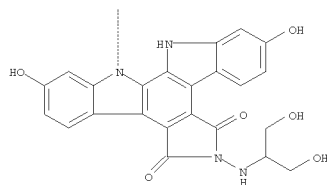
PAGE 1-A



10/03/2008,10524274.trn

L5 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

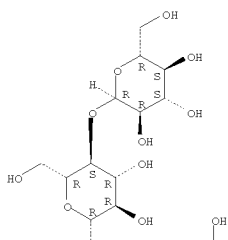
PAGE 2-A



RN 177350-45-7 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(4-O-α-D-glucopyranosyl-β-D-glucopyranosyl)-12,13-dihydro-  
1,11-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX  
NAME)

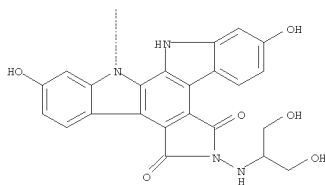
Absolute stereochemistry.

PAGE 1-A



L5 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

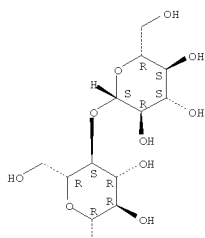
PAGE 2-A



RN 177350-47-9 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(4-O-β-D-glucopyranosyl-β-D-glucopyranosyl)-12,13-dihydro-  
2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX  
NAME)

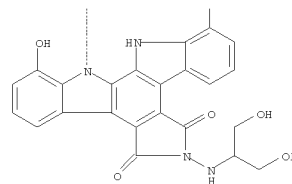
Absolute stereochemistry.

PAGE 1-A



L5 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

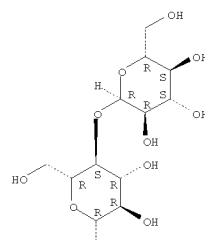
PAGE 2-A



RN 177350-46-8 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione,  
12-(4-O-α-D-glucopyranosyl-β-D-glucopyranosyl)-12,13-dihydro-  
2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX  
NAME)

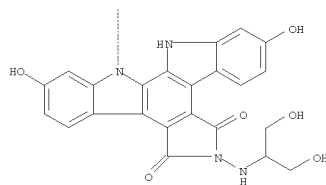
Absolute stereochemistry.

PAGE 1-A



L5 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 2-A



10/03/2008,10524274.trn

L5 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN  
ED Entered STN: 20 Mar 1996  
GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds.,  $\beta$ -D-glucopyranosyl-12,13-dihydro-5H-indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione derivs., [I; R1, R2 = OH, wherein R1 is present at the 1- or 2-position and R2 is present at the 10- or 11-position, provided when R1 is present at the 1-position, R2 is present at the 11-position, while when R1 is present at the 2-position, R2 is present at the 10-position] or pharmaceutically acceptable salts thereof are prepared. Thus, 284 g 6-benzyloxyindole was treated with 2.7 L 1 M lithium hexamethyldisilazide in THF at -10°, stirred for 45 min, treated dropwise with a solution of 2,3-dibromo-N-methylmaleimide over 1 h, and stirred at 0° for 15 min to give an indolylmaleimide derivative (II; R = H, R3 = Br) (93%), which was acylated by di-tert-Bu dicarbonate in the presence of 4-dimethylaminopyridine in THF to give II (R = Boc, R3 = Br) (96%). The latter compound was similarly condensed with 6-benzyloxyindole in the presence of lithium hexamethyldisilazide in THF to give the bis(indolyl)maleimide II (R = Boc, R3 = Q, wherein R4 = H) (62%), which was stirred with 2,3,4,6-tetra-O-benzyl-D-glucose, Ph3P, and di-Et azodicarboxylate in THF to give the glucoside II (R = Q1, R3 = Q, wherein R4 = Boc) (62%), followed by treatment with 40% MeNH2 in MeOH at room temperature for 30 min to give II (R = Q1, R3 = Q, wherein R4 = H) (96%). This compound was cyclized by stirring with CuCl2 and mol. sieve in MeCOEt at room temperature for 2 h to give the  $\beta$ -(D-glucopyranosyl)indolopyrrolocarbazole derivative (III; X = NMe, R6 = CH2Ph), which was hydrogenolyzed over Pd black in CHCl3/MeOH under H atmospheric to give III (X = NMe, R6 = H) (88%), which was stirred with 10% aqueous NaOH at room temperature for 1 h and neutralized with 2 N aqueous HCl to give III (X = O, R6 = H) (100%) and then condensed with 2-hydrazino-1,3-propanediol in DMF at 80° for 1 h to give, after purification using Sephadex LH 20, the title compound III [X = NMeCH(CH2OH)2, R6 = H] (77%). This compound in vitro inhibited the growth of cancer cells P388, MKN-45, PC-13, and DLD-1 at 0.0020, 0.011, 0.035, and 0.10  $\mu$ M, resp. It at a total dosage of 3.0 mg/kg during 20 or 32 days depending on the treatment schedule inhibited 75% the growth of human stomach cancer MKN-45 transplanted in nude mice.  
ACCESSION NUMBER: 1996:161149 CAPLUS  
DOCUMENT NUMBER: 124:202948  
TITLE: Preparation of  $\beta$ -(D-glucopyranosyl)indolopyrrolocarbazole derivatives as antitumor agents  
INVENTOR(S): Kojiri, Katsuhisa; Kondo, Hisao; Arakawa, Hiroharu;

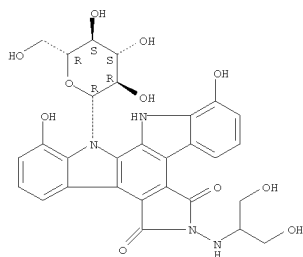
L5 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
Ohkubo, Mitsuru; Suda, Hiroyuki  
PATENT ASSIGNEE(S): Japan  
SOURCE: PCT Int. Appl., 64 pp.  
CODEN: PIXXD2  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 6  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9530682	A1	19951116	WO 1995-JP868	19950502
W: AU, CA, CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
PL 172609	B1	19971031	PL 1992-316369	19921127
US 5591842	A	19970107	US 1994-255980	19940608
CA 2190007	A1	19951116	CA 1995-2190007	19950502
CA 2190007	C	20030415		
CA 2413037	A1	19951116	CA 1995-2413037	19950502
CA 2413037	C	20070626		
AU 9523535	A	19951129	AU 1995-23535	19950502
AU 683749	B2	19971120		
EP 760375	A1	19970305	EP 1995-917506	19950502
EP 760375	B1	20031126		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1153518	A	19970702	CN 1995-193830	19950502
CN 1106400	B	20030423		
JP 3038921	B2	20000508	JP 1995-528838	19950502
EP 1264836	A1	20021211	EP 2002-18235	19950502
EP 1264836	B1	20041201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
AT 255121	T	20031215	AT 1995-917506	19950502
PT 760375	T	20040430	PT 1995-917506	19950502
ES 2206501	T3	20040516	ES 1995-917506	19950502
CN 1513865	A	20040721	CN 2002-2002146948	19950502
AT 283863	T	20041215	AT 2002-18235	19950502
PT 1264836	T	20050228	PT 2002-18235	19950502
ES 2230433	T3	20050501	ES 2002-18235	19950502
US 5804564	A	19980908	US 1996-737382	19961108
HK 1000890	A1	20040109	HK 1997-102485	19971217
US 5922860	A	19990713	US 1998-3602	19980107
HK 1067948	A1	20070713	HK 2005-100209	20050211
PRIORITY APPLN. INFO.:			JP 1994-119483	A 19940509
			JP 1994-145648	A 19940603
			US 1994-255980	A2 19940608
			JP 1991-341916	A 19911129
			JP 1992-69269	A 19920218

L5 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)  
JP 1992-257306 A 19920901  
US 1992-981070 A2 19921124  
WO 1992-JP1549 W 19921127  
US 1993-68097 B2 19930528  
US 1993-166364 A2 19931214  
CA 1995-2190007 A3 19950502  
EP 1995-917506 A3 19950502  
WO 1995-JP868 W 19950502

OTHER SOURCE(S): CASREACT 124:202948; MARPAT 124:202948  
IT 174402-31-4P 174402-32-5P  
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of  $\beta$ -(D-glucopyranosyl)indolopyrrolocarbazole derivs. as antitumor agents)  
RN 174402-31-4 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- $\beta$ -D-glucopyranosyl-12,13-dihydro-1,11-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

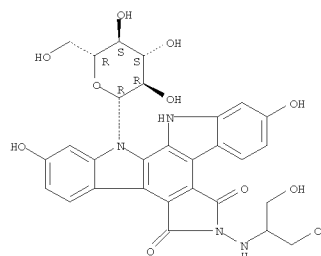
Absolute stereochemistry.



RN 174402-32-5 CAPLUS  
CN 5H-Indolo[2,3-a]pyrrolo[3,4-c]carbazole-5,7(6H)-dione, 12- $\beta$ -D-glucopyranosyl-12,13-dihydro-2,10-dihydroxy-6-[[2-hydroxy-1-(hydroxymethyl)ethyl]amino]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L5 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

90.56

269.13

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-12.80

-12.80

SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 12:05:20 ON 10 MAR 2008